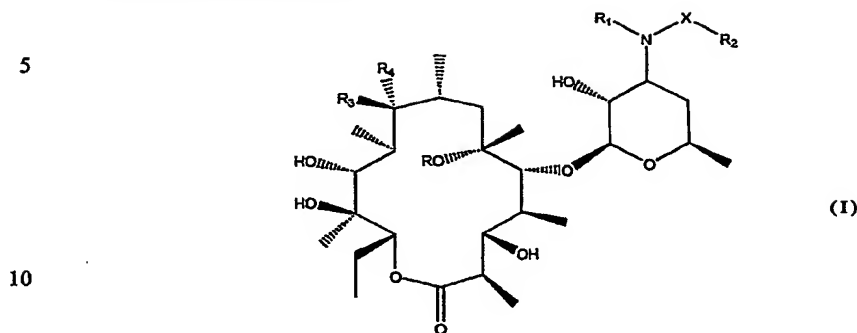


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Claims

1) A compound of formula



wherein

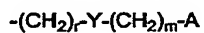
X is a  $-C(=O)-$ ,  $-C(=O)-O-$ ,  $-C(=O)-N-$ ,  $-SO_2-$  or  $-SO_2-N$  group;

R is a hydrogen atom or methyl;

15 R<sub>1</sub> is a hydrogen atom or a (C<sub>1</sub>-C<sub>3</sub>)-alkyl group;

R<sub>2</sub> is a hydrogen atom, a (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl group, a (C<sub>5</sub>-C<sub>7</sub>)-cycloalkyl group, a phenyl or a five- or six-membered heteroaryl having from one to three heteroatoms selected among nitrogen, oxygen and sulphur, a phenyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl or heteroaryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl group optionally substituted by 1 to 3 substituents selected

20 among a (C<sub>1</sub>-C<sub>4</sub>)-alkyl group, a (C<sub>1</sub>-C<sub>4</sub>)-alkoxy group and halogen, or a chain of formula



wherein

A is a phenyl or a five- or six-membered heteroaryl having from one to three

25 heteroatoms selected among nitrogen, oxygen and sulphur, both ones optionally substituted by 1 to 3 substituents selected among a (C<sub>1</sub>-C<sub>4</sub>)-alkyl group, a (C<sub>1</sub>-C<sub>4</sub>)-alkoxy group or halogen;

Y represents O, S or NR<sub>6</sub> wherein R<sub>6</sub> is a hydrogen atom, a linear or branched (C<sub>1</sub>-C<sub>3</sub>) alkyl, a (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonyl group or a benzyloxycarbonyl group;

30 r is an integer comprised between 1 and 3;

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- m is an integer comprised between 0 and 3;
- R<sub>3</sub> is a hydroxy group or R<sub>3</sub> taken together with R<sub>4</sub> forms a (=O) group or a =N-O-R<sub>5</sub> group wherein R<sub>5</sub> is a hydrogen atom, a (C<sub>1</sub>-C<sub>4</sub>)-alkyl group, a benzyl or a -X-R<sub>2</sub> group wherein X and R<sub>2</sub> have the corresponding meanings defined above;
- R<sub>4</sub> is a hydrogen atom or R<sub>4</sub> taken together with R<sub>3</sub> forms a (=O) group or a =N-O-R<sub>5</sub> group wherein R<sub>5</sub> has the meanings defined above;
- and furthermore R<sub>2</sub> is a (C<sub>1</sub>-C<sub>10</sub>)-alkyl group or a (C<sub>4</sub>-C<sub>10</sub>)-alkyl group when, at the same time, X is a -C(=O)- group, R<sub>1</sub> is a (C<sub>1</sub>-C<sub>3</sub>)-alkyl group and R<sub>3</sub> is a hydroxy group or R<sub>3</sub> taken together with R<sub>4</sub> forms a =N-O-R<sub>5</sub> group wherein R<sub>5</sub> is different from -X-R<sub>2</sub>;
- and pharmaceutically acceptable salts thereof.
- 2) A compound according to claim 1 wherein R, R<sub>1</sub>, R<sub>2</sub> have the meanings as defined in formula I, X is a -C(=O)-, -C(=O)-N- or -SO<sub>2</sub>- group and R<sub>3</sub> is a hydroxy group or R<sub>3</sub> taken together with R<sub>4</sub> forms a (=O) group or a =N-O-R<sub>5</sub> group wherein R<sub>5</sub> is a hydrogen atom, methyl, benzyl or a -X-R<sub>2</sub> group wherein X and R<sub>2</sub> have the meanings as defined in formula I.
- 3) A compound according to claim 2 wherein R<sub>1</sub> is a hydrogen atom or methyl and R<sub>5</sub> is a hydrogen atom or a -X-R<sub>2</sub> group wherein X and R<sub>2</sub> have the meanings as defined in formula I.
- 4) A compound according to claim 3 wherein R<sub>2</sub> is a hydrogen atom, a (C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl group, a (C<sub>5</sub>-C<sub>7</sub>)-cycloalkyl group, a phenyl or a five- or six-membered heteroaryl having from one to three heteroatoms selected among nitrogen, oxygen and sulphur, a phenyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl or heteroaryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl group optionally substituted by 1 to 3 substituents selected among a (C<sub>1</sub>-C<sub>4</sub>)-alkyl group, a (C<sub>1</sub>-C<sub>4</sub>)-alkoxy group and halogen, or a chain of formula

wherein  $-(CH_2)_r-Y-(CH_2)_m-A$

- A is a phenyl or a heteroaryl selected among furan, thiophene, oxazole, imidazole, pyridine, pyrimidine and triazole both ones optionally substituted by 1 to 3

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substituents selected among a (C<sub>1</sub>-C<sub>4</sub>)-alkyl group, a (C<sub>1</sub>-C<sub>4</sub>)-alkoxy group or halogen;

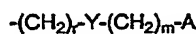
Y represents O, S or NR<sub>6</sub> wherein R<sub>6</sub> is a hydrogen atom or methyl;

5 r is an integer comprised between 1 and 3;

m is an integer comprised between 0 and 3;

and furthermore R<sub>2</sub> is a (C<sub>1</sub>-C<sub>10</sub>)-alkyl group or a (C<sub>4</sub>-C<sub>10</sub>)-alkyl group when, at the same time, X is a-C(=O)- group, R<sub>1</sub> is a (C<sub>1</sub>-C<sub>3</sub>)-alkyl group and R<sub>3</sub> is a hydroxy group or R<sub>3</sub> taken together with R<sub>4</sub> forms a =N-O-R<sub>5</sub> group wherein R<sub>5</sub> is different  
10 from -X-R<sub>2</sub>.

5) A compound according to claim 1 wherein R<sub>1</sub> is methyl and R<sub>2</sub> is a methoxy-(C<sub>1</sub>-C<sub>3</sub>)-alkyl group, a (C<sub>5</sub>-C<sub>7</sub>)-cycloalkyl group, a phenyl or a heteroaryl selected among furan, thiophene, oxazole and pyridine, a benzyl or heteroaryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl group optionally substituted by a substituent selected among a (C<sub>1</sub>-C<sub>4</sub>)-alkyl group, a  
15 methoxy group and halogen, or a chain of formula



wherein

A is a phenyl or a heteroaryl selected among furan, thiophene, oxazole and pyridine, both ones optionally substituted by a substituent selected among a (C<sub>1</sub>-C<sub>4</sub>)-alkyl  
20 group, a methoxy group or halogen;

Y represents O, S or NR<sub>6</sub> wherein R<sub>6</sub> is a hydrogen atom;

25 r is an integer comprised between 1 and 3;

m is an integer selected among 0 and 1;

and furthermore R<sub>2</sub> is a (C<sub>1</sub>-C<sub>7</sub>)-alkyl group or a (C<sub>4</sub>-C<sub>10</sub>)-alkyl group when, at the same time, X is a-C(=O)- group, R<sub>1</sub> is a (C<sub>1</sub>-C<sub>3</sub>)-alkyl group and R<sub>3</sub> is a hydroxy group or R<sub>3</sub> taken together with R<sub>4</sub> forms a =N-O-R<sub>5</sub> group wherein R<sub>5</sub> is different  
from -X-R<sub>2</sub>.

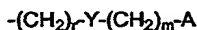
6) A compound according to claim 1 wherein R, R<sub>1</sub>, R<sub>2</sub> and X have the meanings as defined in formula I, R<sub>3</sub> is a hydroxy group and R<sub>4</sub> is a hydrogen atom.

30 7) A compound according to claim 6 wherein R<sub>1</sub> is a hydrogen atom or methyl and X

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is a  $-C(=O)-$ ,  $-C(=O)-N-$  or  $-SO_2-$  group.

- 8) A compound according to claim 7 wherein  $R_2$  is a hydrogen atom, a  $(C_1-C_4)$ -alkoxy- $(C_1-C_3)$ -alkyl group, a  $(C_5-C_7)$ -cycloalkyl group, a phenyl or a five- or six-membered heteroaryl having from one to three heteroatoms selected among nitrogen, oxygen and sulphur, a phenyl- $(C_1-C_4)$ -alkyl or heteroaryl- $(C_1-C_4)$ -alkyl group optionally substituted by a substituent selected among a  $(C_1-C_4)$ -alkyl group, a  $(C_1-C_4)$ -alkoxy group and halogen, or a chain of formula



- 10 wherein

A is a phenyl or a heteroaryl selected among furan, thiophene, oxazole, imidazole, pyridine, pyrimidine and triazole both ones optionally substituted by a substituent selected among a  $(C_1-C_4)$ -alkyl group, a  $(C_1-C_4)$ -alkoxy group or halogen;

Y represents O, S or  $NR_6$  wherein  $R_6$  is a hydrogen atom or methyl;

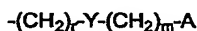
- 15 r is an integer comprised between 1 and 3;

m is an integer selected among 0 and 3;

and furthermore  $R_2$  is a  $(C_1-C_7)$ -alkyl group or a  $(C_4-C_{10})$ -alkyl group when, at the same time, X is a  $-C(=O)-$  group,  $R_1$  is a  $(C_1-C_3)$ -alkyl group and  $R_3$  is a hydroxy group or  $R_3$  taken together with  $R_4$  forms a  $=N-O-R_5$  group wherein  $R_5$  is different

- 20 from  $-X-R_2$ .

- 9) A compound according to claim 8 wherein  $R_1$  is methyl and  $R_2$  is a hydrogen atom, a methoxy- $(C_1-C_3)$ -alkyl group, a  $(C_5-C_7)$ -cycloalkyl group, a phenyl or a heteroaryl selected among furan, thiophene, oxazole and pyridine, a benzyl or heteroaryl-methyl group wherein heteroaryl is selected among furan, thiophene, oxazole and pyridine, optionally substituted by a substituent selected among a  $(C_1-C_4)$ -alkyl group, a methoxy group and halogen, or a chain of formula



wherein

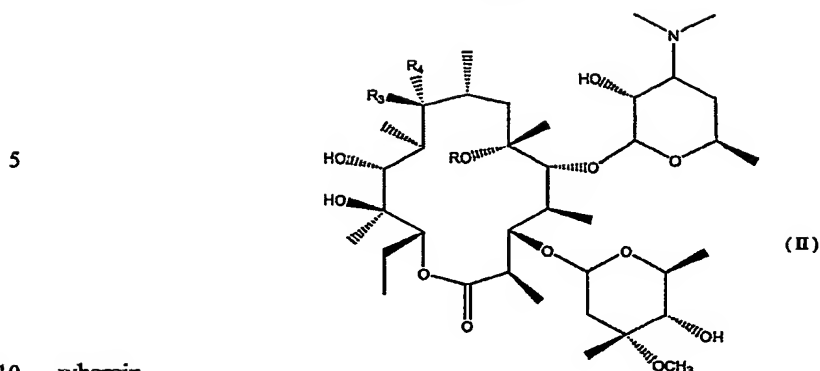
A is a phenyl or a heteroaryl selected among furan, thiophene, oxazole and pyridine,

- 30 both ones optionally substituted by a substituent selected among a methyl group, a

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- methoxy group or halogen;  
 Y represents O, S or NR<sub>6</sub> wherein R<sub>6</sub> is a hydrogen atom;  
 r is an integer comprised between 1 and 3;
- 5 m is an integer selected among 0 and 1;  
 and furthermore R<sub>2</sub> is a (C<sub>1</sub>-C<sub>7</sub>)-alkyl group or a (C<sub>4</sub>-C<sub>10</sub>)-alkyl group when, at the same time, X is a-C(=O)- group, R<sub>1</sub> is a (C<sub>1</sub>-C<sub>3</sub>)-alkyl group and R<sub>3</sub> is a hydroxy group or R<sub>3</sub> taken together with R<sub>4</sub> forms a =N-O-R<sub>5</sub> group wherein R<sub>5</sub> is different from -X-R<sub>2</sub>.
- 10 10) A compound according to claim 9 wherein R<sub>2</sub> is a methoxy-methyl group, a cycloesyl, a phenyl or a heteroaryl selected among furan, thiophene, oxazole and pyridine, a benzyl or thiophen-yl-methyl group optionally substituted by a substituent selected among a methyl group, a methoxy group and halogen, or a chain of formula
- 15  $-(CH_2)_r-Y-(CH_2)_m-A$   
 wherein  
 A is a phenyl or pyridine, both ones optionally substituted by a methoxy group;  
 Y represents O, S or NR<sub>6</sub> wherein R<sub>6</sub> is a hydrogen atom;  
 r is an integer comprised between 1 and 3;  
 m is an integer selected between 0 and 1;
- 20 and furthermore R<sub>2</sub> is a (C<sub>1</sub>-C<sub>7</sub>)-alkyl group or a (C<sub>4</sub>-C<sub>10</sub>)-alkyl group when, at the same time, X is a-C(=O)- group, R<sub>1</sub> is a (C<sub>1</sub>-C<sub>3</sub>)-alkyl group and R<sub>3</sub> is a hydroxy group or R<sub>3</sub> taken together with R<sub>4</sub> forms a =N-O-R<sub>5</sub> group wherein R<sub>5</sub> is different from -X-R<sub>2</sub>.
- 11) A compound according to claim 1 wherein the -X-R<sub>2</sub> substituent in the meanings of R<sub>5</sub> has the same meanings of the X and R<sub>2</sub> substituents at 3' position.
- 25 12) A process for the preparation of a compound according to claim 1 which comprises:
- a. the demethylation of the dimethylamino group at 3' position of a compound of formula

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10 wherein

$R_1$ ,  $R_2$  and  $R_4$  are as defined as in claim 1;

b. the removal of L-cladinose by a hydrolysis reaction;

c. the amidation reaction of the primary or secondary aminic group obtained by item a.

15 13) A process according to claim 12 wherein  $R_3$  in formula II is a hydroxy group and  $R_4$  is a hydrogen atom.

14) A process according to claim 12 wherein the removal of the cladinose is carried out by an acid catalyzed hydrolysis in presence of a mineral acid and of a protic organic solvent.

20 15) A pharmaceutical composition comprising a therapeutically effective amount of a compound according to claim 1 in admixture with a pharmaceutically acceptable carrier.

16) A pharmaceutical composition according to claim 15 useful in the treatment of inflammatory diseases.

25 17) A pharmaceutical composition according to claim 15 useful in the treatment of respiratory diseases.

18) A pharmaceutical composition according to claim 16 useful in the treatment of gastrointestinal diseases.